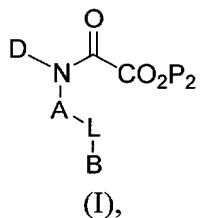


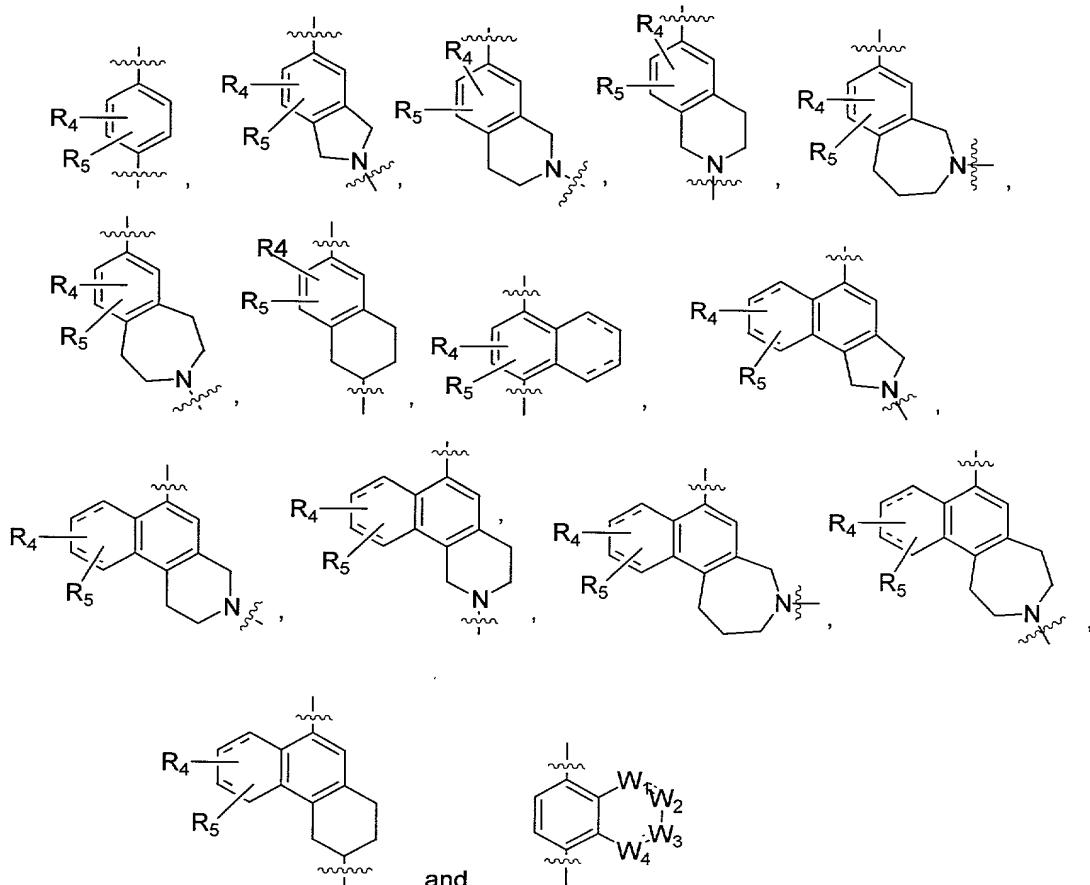
## WHAT IS CLAIMED IS

1. A compound of formula (I)



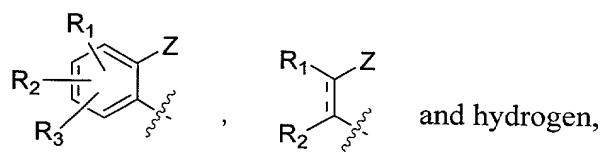
or a therapeutically acceptable salt or prodrug thereof, wherein

A is selected from the group consisting of

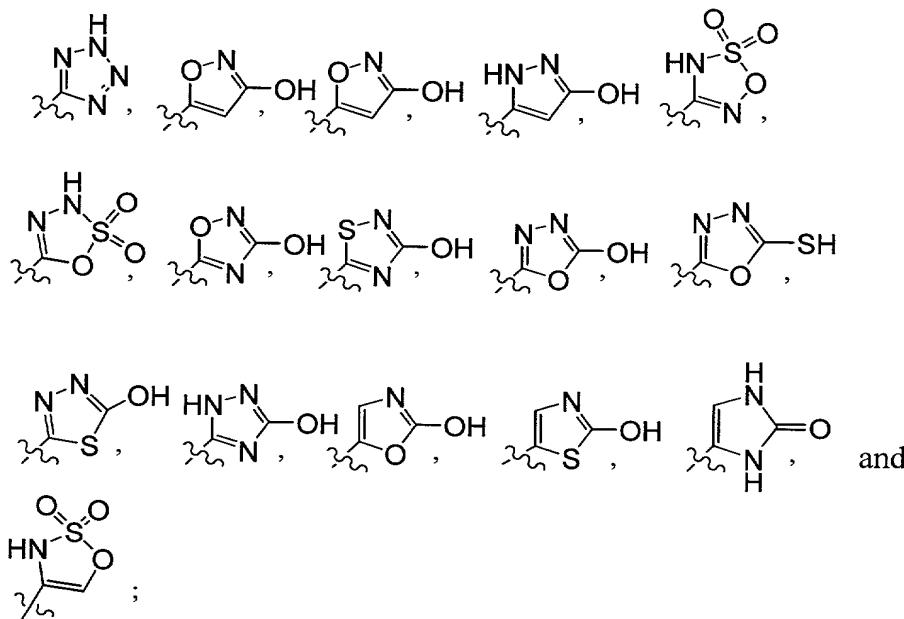


10 wherein the dotted line is either absent or is a single bond;  
B is selected from the group consisting of hydrogen, alkyl, aryl, arylalkyl, heterocycle and heterocyclealkyl;

D is selected from the group consisting of



wherein Z is selected from the group consisting of alkoxy, alkyl, amino, cyano, nitro,  $\text{CO}_2\text{P}_1$ ,  $\text{SO}_3\text{H}$ ,  $\text{PO}(\text{OH})_2$ ,  $\text{CH}_2\text{PO}(\text{OH})_2$ ,  $\text{CHFPO}(\text{OH})_2$ ,  $\text{CF}_2(\text{PO}(\text{OH})_2$ ,  $\text{C}(\text{=NH})\text{NH}_2$ , and the following 5-membered heterocycles:



wherein  $\text{P}_1$  and  $\text{P}_2$  are independently selected from the group consisting of hydrogen, alkyl, alkenyl, arylalkyl, cycloalkyl and (cycloalkyl)alkyl;

$\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_4$  and  $\text{R}_5$  are independently selected from the group consisting of hydrogen, alkoxy, alkyl, aryl, arylalkyl, cyano, halo, haloalkoxy, haloalkyl, heterocycle, heterocyclealkyl, hydroxy, hydroxyalkyl, nitro,  $\text{NR}_\text{A}\text{R}_\text{B}$ ,  $\text{NR}_\text{A}\text{R}_\text{B}\text{C(O)}$ ,  $\text{NR}_\text{A}\text{R}_\text{B}\text{C(O)alkyl}$  and  $\text{NR}_\text{A}\text{R}_\text{B}\text{C(O)alkenyl}$ , wherein  $\text{R}_\text{A}$  and  $\text{R}_\text{B}$  are independently selected from the group consisting of hydrogen, alkyl, alkoxy carbonyl, alkylsulfonyl, aryl, arylalkyl carbonyl, aryl carbonyl, arylsulfonyl and  $(\text{R}_\text{C}\text{R}_\text{D}\text{N})\text{carbonyl}$  wherein  $\text{R}_\text{C}$  and  $\text{R}_\text{D}$  are independently selected from the group consisting of hydrogen, alkyl, aryl, and arylalkyl, or  $\text{R}_\text{A}$  and  $\text{R}_\text{B}$  taken together with the nitrogen to which they are attached form a ring selected from the group consisting of pyrrolidine, piperidine, morpholine, homopiperidine and piperazine;

L is selected from the group consisting of

- $(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{C(O)N}(\text{R}_{10})\text{CH}(\text{CO}_2\text{R}_{11})(\text{CH}_2)_q\text{X}_3-$ ;
- $(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{EC(O)N}(\text{R}_{10})\text{CH}(\text{CO}_2\text{R}_{11})(\text{CH}_2)_q\text{X}_3-$ ;
- $(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3-$ ;
- $(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3(\text{CH}_2)_q\text{X}_4-$ ; and

$-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pE(CH_2)_qX_3-$ , wherein each group is drawn with the left end attached to A and the right end attached to B;

m, n, p and q are independently between 0-4;

R<sub>8</sub> is selected from the group consisting of hydrogen, hydroxy, NR<sub>A</sub>R<sub>B</sub> and (NR<sub>A</sub>R<sub>B</sub>)alkyl;

R<sub>9A</sub> and R<sub>9B</sub> are independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl and R<sub>E</sub>R<sub>F</sub>Nalkyl, wherein R<sub>E</sub> and R<sub>F</sub> are independently selected from the group consisting of hydrogen, alkyl, alkoxy carbonyl and alkanoyl, or R<sub>9A</sub> and R<sub>9B</sub> taken together are oxo;

10 R<sub>10</sub> is selected from the group consisting of hydrogen, alkyl, alkanoyl and alkoxy carbonyl;

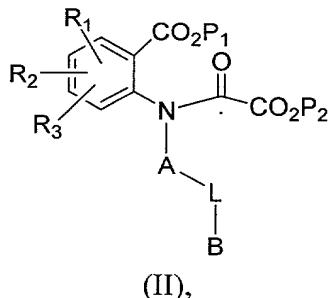
R<sub>11</sub> is independently selected from the group consisting of hydrogen, alkyl, alkenyl, arylalkyl, cycloalkyl, and (cycloalkyl)alkyl;

E is selected from the group consisting of aryl and cycloalkyl;

X<sub>1</sub>, X<sub>2</sub>, X<sub>3</sub>, and X<sub>4</sub> are independently absent or are independently selected from the group consisting of NR<sub>G</sub>, O, S, S(O) and S(O)<sub>2</sub>, wherein R<sub>G</sub> is selected from the group consisting of hydrogen, alkyl, alkanoyl and alkoxy carbonyl; and

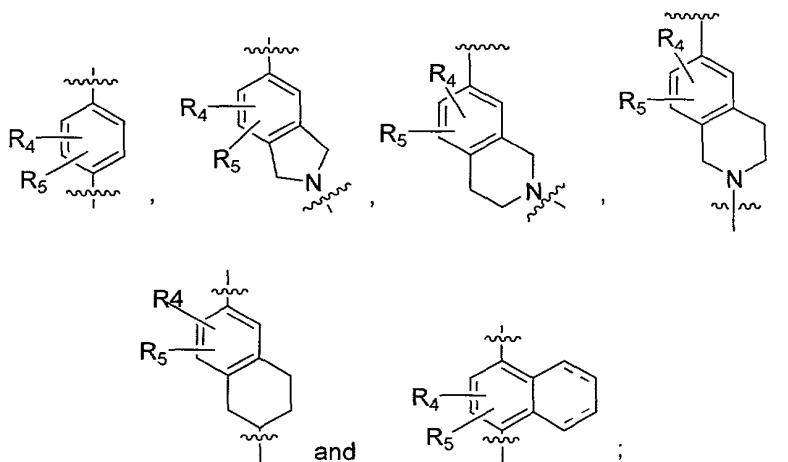
W<sub>1</sub>, W<sub>2</sub>, W<sub>3</sub> and W<sub>4</sub> are independently selected from the group consisting of CH, CH<sub>2</sub>, N, NH and O.

2. The compound according to claim 1 of formula (II)



25 or a therapeutically acceptable salt or prodrug thereof wherein A, B, L, P<sub>1</sub>, P<sub>2</sub>, R<sub>1</sub>, R<sub>2</sub>, and R<sub>3</sub> are defined in Claim 1.

3. The compound according to claim 2, wherein A is selected from the group consisting of



R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> are independently selected from the group consisting of hydrogen, alkoxy, alkyl, cyano, halo, haloalkoxy, haloalkyl, heterocycle, hydroxy, hydroxyalkyl, nitro, NR<sub>A</sub>R<sub>B</sub>, NR<sub>A</sub>R<sub>B</sub>C(O), NR<sub>A</sub>R<sub>B</sub>C(O)alkyl and NR<sub>A</sub>R<sub>B</sub>C(O)alkenyl;

5 R<sub>10</sub> is selected from the group consisting of hydrogen and alkyl; and

R<sub>11</sub> is independently selected from the group consisting of hydrogen, alkyl and arylalkyl.

4. The compound according to claim 2, wherein

L is

-(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>)X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>C(O)N(R<sub>10</sub>)CH(CO<sub>2</sub>R<sub>11</sub>)(CH<sub>2</sub>)<sub>q</sub>X<sub>3</sub>-.

5. The compound according to claim 2, wherein

L is

15 -(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>)X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>C(O)N(R<sub>10</sub>)CH(CO<sub>2</sub>R<sub>11</sub>)(CH<sub>2</sub>)<sub>q</sub>X<sub>3</sub>-; and

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>.

6. The compound according to claim 2, wherein

L is

20 -(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>)X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>C(O)N(R<sub>10</sub>)CH(CO<sub>2</sub>R<sub>11</sub>)(CH<sub>2</sub>)<sub>q</sub>X<sub>3</sub>-;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>; and

R<sub>9A</sub> and R<sub>9B</sub> together are oxo.

7. The compound according to claim 2, wherein

L is

25 -(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>))X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>C(O)N(R<sub>10</sub>)CH(CO<sub>2</sub>R<sub>11</sub>)(CH<sub>2</sub>)<sub>q</sub>X<sub>3</sub>-;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

R<sub>9A</sub> and R<sub>9B</sub> together are oxo; and  
 X<sub>2</sub> is NR<sub>C</sub>.

8. The compound according to claim 2, wherein

L is

-(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>))X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>C(O)N(R<sub>10</sub>)CH(CO<sub>2</sub>R<sub>11</sub>)(CH<sub>2</sub>)<sub>q</sub>X<sub>3</sub>-;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

X<sub>2</sub> is NR<sub>C</sub>; and

B is selected from the group consisting of aryl and heterocycle.

9. The compound according to claim 2, wherein

L is

-(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>))X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>C(O)N(R<sub>10</sub>)CH(CO<sub>2</sub>R<sub>11</sub>)(CH<sub>2</sub>)<sub>q</sub>X<sub>3</sub>-;

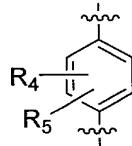
R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

X<sub>2</sub> is NR<sub>C</sub>;

B is selected from the group consisting of aryl and heterocycle; and

A is



10. The compound according to claim 9, which is

N-[5-(N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl]amino]-3-ethylphenylalanyl]amino)pentanoyl]-L-tyrosine.

11. The compound according to claim 2, wherein

L is

-(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>))X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>C(O)N(R<sub>10</sub>)CH(CO<sub>2</sub>R<sub>11</sub>)(CH<sub>2</sub>)<sub>q</sub>X<sub>3</sub>-;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

X<sub>2</sub> is NR<sub>C</sub>; and

B is hydrogen.

12. The compound according to claim 2, wherein

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L is

- $(CH_2)_m X_1 (CH_2)_n CH(R_8) C(R_{9A})(R_{9B}) X_2 (CH_2)_p C(O) N(R_{10}) CH(CO_2 R_{11}) (CH_2)_q X_3^-$ ;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

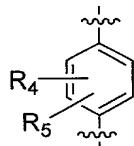
R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

5

X<sub>2</sub> is NR<sub>C</sub>;

B is hydrogen; and

A is



10 13. The compound according to claim 12, which is

N-[5-(N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl]amino]-3-ethylphenylalanyl]amino)pentanoyl]-L-norleucine.

15 14. The compound according to claim 2, wherein

L is

- $(CH_2)_m X_1 (CH_2)_n CH(R_8) C(R_{9A})(R_{9B}) X_2 (CH_2)_p EC(O) N(R_{10}) CH(CO_2 R_{11}) (CH_2)_q X_3^-$ .

20 15. The compound according to claim 2, wherein

L is

- $(CH_2)_m X_1 (CH_2)_n CH(R_8) C(R_{9A})(R_{9B}) X_2 (CH_2)_p EC(O) N(R_{10}) CH(CO_2 R_{11}) (CH_2)_q X_3^-$ ; and  
R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>.

25 16. The compound according to claim 2, wherein

L is

- $(CH_2)_m X_1 (CH_2)_n CH(R_8) C(R_{9A})(R_{9B}) X_2 (CH_2)_p EC(O) N(R_{10}) CH(CO_2 R_{11}) (CH_2)_q X_3^-$ ;  
R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>; and  
R<sub>9A</sub> and R<sub>9B</sub> together are oxo.

30 17. The compound according to claim 2, wherein

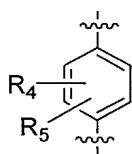
L is

- $(CH_2)_m X_1 (CH_2)_n CH(R_8) C(R_{9A})(R_{9B}) X_2 (CH_2)_p EC(O) N(R_{10}) CH(CO_2 R_{11}) (CH_2)_q X_3^-$ ;  
R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;  
R<sub>9A</sub> and R<sub>9B</sub> together are oxo; and  
X<sub>2</sub> is NR<sub>C</sub>.

18. The compound according to claim 2, wherein  
 L is  
 $-(CH_2)_m X_1 (CH_2)_n CH(R_8) C(R_{9A})(R_{9B}) X_2 (CH_2)_p EC(O)N(R_{10}) CH(CO_2 R_{11}) (CH_2)_q X_3 -$ ;  
 5      R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;  
 R<sub>9A</sub> and R<sub>9B</sub> together are oxo;  
 X<sub>2</sub> is NR<sub>C</sub>; and  
 B is hydrogen.

- 10     19. The compound according to claim 2, wherein  
 L is  
 $-(CH_2)_m X_1 (CH_2)_n CH(R_8) C(R_{9A})(R_{9B}) X_2 (CH_2)_p EC(O)N(R_{10}) CH(CO_2 R_{11}) (CH_2)_q X_3 -$ ;  
 15      R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;  
 R<sub>9A</sub> and R<sub>9B</sub> together are oxo;  
 X<sub>2</sub> is NR<sub>C</sub>;  
 B is hydrogen; and  
 E is cycloalkyl.

20. The compound according to claim 2, wherein  
 L is  
 $-(CH_2)_m X_1 (CH_2)_n CH(R_8) C(R_{9A})(R_{9B}) X_2 (CH_2)_p EC(O)N(R_{10}) CH(CO_2 R_{11}) (CH_2)_q X_3 -$ ;  
 25      R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;  
 R<sub>9A</sub> and R<sub>9B</sub> together are oxo;  
 X<sub>2</sub> is NR<sub>C</sub>;  
 B is hydrogen;  
 E is cycloalkyl; and  
 A is



- 30     21. The compound according to claim 20, which is  
 N-{[4-({[N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl]amino]-3-(2-hydroxyethyl)phenylalanyl]amino}methyl)cyclohexyl]carbonyl}-L-norleucine.  
 22. The compound according to claim 2, wherein

L is

$-(CH_2)_m X_1 (CH_2)_n CH(R_8) C(R_{9A})(R_{9B}) X_2 (CH_2)_p C(O) N(R_{10}) CH(CO_2 R_{11}) (CH_2)_q X_3 -$ ;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

5 X<sub>2</sub> is NR<sub>C</sub>;

X<sub>3</sub> is S; and

B is alkyl.

23. The compound according to claim 2, wherein

10 L is

$-(CH_2)_m X_1 (CH_2)_n CH(R_8) C(R_{9A})(R_{9B}) X_2 (CH_2)_p C(O) N(R_{10}) CH(CO_2 R_{11}) (CH_2)_q X_3 -$ ;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

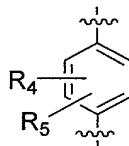
R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

X<sub>2</sub> is NR<sub>C</sub>;

X<sub>3</sub> is S;

B is alkyl; and

A is



20 24. The compound according to claim 23, selected from the group consisting of

N-{5-[(N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl)amino]pentanoyl}-L-methionine;

methyl N-{5-[(N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl)amino]pentanoyl}-L-methioninate;

25 N-{5-[(N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl)amino]pentanoyl}-S-ethyl-L-homocysteine;

N-{5-[(N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-isopropylphenylalanyl)amino]pentanoyl}-L-methionine;

30 N-{5-[(N-acetyl-4-[(carboxycarbonyl)(2-carboxy-5-chlorophenyl)amino]-3-ethylphenylalanyl)amino]pentanoyl}-L-methionine; and

N-(5-{{[N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-(2-hydroxyethyl)phenylalanyl]amino}pentanoyl}-L-methionine.

25. The compound according to claim 2, wherein

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L is

$-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pC(O)N(R_{10})CH(CO_2R_{11})(CH_2)_qX_3-$ ;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

5

X<sub>2</sub> is NR<sub>C</sub>;

X<sub>3</sub> is S; and

B is aryl.

26. The compound according to claim 2, wherein

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L is

$-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pC(O)N(R_{10})CH(CO_2R_{11})(CH_2)_qX_3-$ ;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

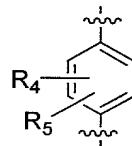
R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

X<sub>2</sub> is NR<sub>C</sub>;

X<sub>3</sub> is S;

B is aryl; and

A is



20

27. The compound according to claim 26, which is

*N*-{5-[*N*-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl]amino}pentanoyl}-S-benzyl-L-cysteine.

28. The compound according to claim 2, wherein

25

L is

$-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pC(O)N(R_{10})CH(CO_2R_{11})(CH_2)_qX_3-$ ;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

X<sub>2</sub> is NR<sub>C</sub>;

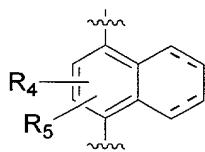
30

X<sub>3</sub> is S;

B is alkyl; and

A is

T005e30 = T24E1560



29. The compound according to claim 28, which is  
N-(5-{[3-(4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-1-naphthyl)-N-  
5 (methoxycarbonyl)alanyl]amino}pentanoyl)-L-methionine.

30. The compound according to claim 2, wherein  
L is -(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>)X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>X<sub>3</sub>-.

- 10 31. The compound according to claim 2, wherein  
L is -(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>)X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>X<sub>3</sub>-; and  
R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>.

- 15 32. The compound according to claim 2, wherein  
L is -(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>)X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>X<sub>3</sub>-;  
R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>; and  
R<sub>9A</sub> and R<sub>9B</sub> together are oxo.

- 20 33. The compound according to claim 2, wherein  
L is -(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>)X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>X<sub>3</sub>-;  
R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;  
R<sub>9A</sub> and R<sub>9B</sub> together are oxo; and  
X<sub>2</sub> is NR<sub>C</sub>.

- 25 34. The compound according to claim 2, wherein  
L is -(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>)X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>X<sub>3</sub>-;  
R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;  
R<sub>9A</sub> and R<sub>9B</sub> together are oxo;  
X<sub>2</sub> is NR<sub>C</sub>; and  
X<sub>3</sub> is O.

- 30 35. The compound according to claim 2, wherein  
L is -(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>)X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>X<sub>3</sub>-;  
R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

X<sub>2</sub> is NR<sub>C</sub>;

X<sub>3</sub> is O; and

B is aryl.

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36. The compound according to claim 2, wherein

L is -(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>)X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>X<sub>3</sub>-;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

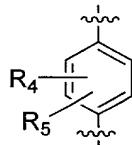
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X<sub>2</sub> is NR<sub>C</sub>;

X<sub>3</sub> is O;

B is aryl; and

A is



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37. The compound according to claim 36, selected from the group consisting of

methyl 2-[4-(N-[(allyloxy)carbonyl]-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-L-phenylalanyl]amino)butoxy]-6-hydroxybenzoate;

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methyl 2-{4-[(N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl]amino]butoxy}-6-hydroxybenzoate;

methyl 4-{4-[(N-acetyl-4-amino-3-ethylphenylalanyl)amino]butoxy}-2-hydroxy-1,1'-biphenyl-3-carboxylate;

2-[4-(N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl]amino)butoxy]-6-hydroxybenzoic acid;

25

methyl 6-{4-[(N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl]amino]butoxy}-3-bromo-2-hydroxybenzoate;

methyl 2-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-N-(methoxycarbonyl)-L-phenylalanyl]amino}butoxy)-6-hydroxy-4-pentylbenzoate;

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methyl 2-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-N-(methoxycarbonyl)-L-phenylalanyl]amino}butoxy)-6-hydroxy-4-methoxybenzoate;

methyl 3-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-N-(methoxycarbonyl)-L-phenylalanyl]amino}butoxy)-5-hydroxy-1,1'-biphenyl-4-carboxylate;

methyl 2-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-N-(methoxycarbonyl)-L-phenylalanyl]amino}butoxy)-6-hydroxy-4-methylbenzoate;

methyl 2-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-N-(methoxycarbonyl)-L-phenylalanyl]amino}butoxy)-4-chloro-6-hydroxybenzoate;

methyl 2-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-N-(methoxycarbonyl)-L-phenylalanyl]amino}butoxy)-6-hydroxybenzoate;

5       4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-N-{4-[2-(aminocarbonyl)-3-hydroxyphenoxy]butyl}-N-(methoxycarbonyl)-L-phenylalaninamide;

10      methyl 3-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-N-(methoxycarbonyl)-L-phenylalanyl]amino}butoxy)-1-hydroxy-2-naphthoate;

15      4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-N-(4-{3-hydroxy-2-[(methylamino)carbonyl]phenoxy}butyl)-N-(methoxycarbonyl)-L-phenylalaninamide;

20      4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-N-(4-{2-[(ethylamino)carbonyl]-3-hydroxyphenoxy}butyl)-N-(methoxycarbonyl)-L-phenylalaninamide;

25      N-{4-[2-(acetylamino)-3-hydroxyphenoxy]butyl}-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-N-(methoxycarbonyl)-L-phenylalaninamide; and

30      4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-N-(4-{2-[(dimethylamino)carbonyl]-3-hydroxyphenoxy}butyl)-N-(methoxycarbonyl)-L-phenylalaninamide.

38. The compound according to claim 2, wherein

L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

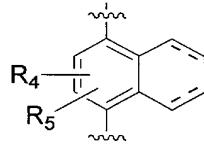
R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

X<sub>2</sub> is NR<sub>C</sub>;

X<sub>3</sub> is O;

B is aryl; and

25      A is



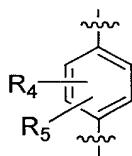
39. The compound according to claim 38, selected from the group consisting of methyl 2-[(5-{[N-acetyl-3-(4-amino-1-naphthyl)-L-alanyl]amino}pentyl)oxy]-6-hydroxy-4-methylbenzoate; and

30      3-({5-[(N-acetyl-3-{4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-1-naphthyl}-L-alanyl)amino]pentyl}oxy)-2-naphthoic acid.

40. The compound according to claim 2, wherein

L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ; and  
R<sub>8</sub> is hydrogen.

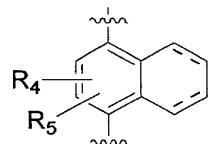
41. The compound according to claim 2, wherein  
L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
R<sub>8</sub> is hydrogen; and  
R<sub>9A</sub> and R<sub>9B</sub> together are oxo.
42. The compound according to claim 2, wherein  
L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
R<sub>8</sub> is hydrogen;  
R<sub>9A</sub> and R<sub>9B</sub> together are oxo; and  
X<sub>2</sub> is NR<sub>C</sub>.
43. The compound according to claim 2, wherein  
L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
R<sub>8</sub> is hydrogen;  
R<sub>9A</sub> and R<sub>9B</sub> together are oxo;  
X<sub>2</sub> is NR<sub>C</sub>; and  
X<sub>3</sub> is O.
44. The compound according to claim 2, wherein  
L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
R<sub>8</sub> is hydrogen;  
R<sub>9A</sub> and R<sub>9B</sub> together are oxo;  
X<sub>2</sub> is NR<sub>C</sub>;  
X<sub>3</sub> is O; and  
B is aryl.
45. The compound according to claim 2, wherein  
L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
R<sub>8</sub> is hydrogen;  
R<sub>9A</sub> and R<sub>9B</sub> together are oxo;  
X<sub>2</sub> is NR<sub>C</sub>;  
X<sub>3</sub> is O; and  
B is aryl; and  
A is



46. The compound according to claim 45, which is methyl 2-(4-{[3-(4-[carboxycarbonyl](2-carboxyphenyl)amino]-3-ethylphenyl)propanoyl]amino}butoxy)-6-hydroxybenzoate.

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47. The compound according to claim 2, wherein  
 L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 R<sub>8</sub> is hydrogen;  
 R<sub>9A</sub> and R<sub>9B</sub> together are oxo;  
 X<sub>2</sub> is NR<sub>C</sub>;  
 X<sub>3</sub> is O;  
 B is aryl; and  
 A is



48. The compound according to claim 47, which is 2-((carboxycarbonyl){4-[3-({4-[3-hydroxy-2-(methoxycarbonyl)phenoxy]butyl}amino)-3-oxopropyl]-[(carboxycarbonyl)(2-carboxyphenyl)amino]-1-naphthyl}amino)benzoic acid.

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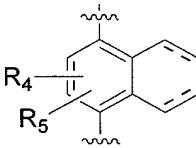
49. The compound according to claim 2, wherein  
 L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 R<sub>8</sub> is hydrogen; and  
 R<sub>9A</sub> is alkyl.

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50. The compound according to claim 2, wherein  
 L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 R<sub>8</sub> is hydrogen;  
 R<sub>9A</sub> is alkyl; and  
 X<sub>2</sub> is NR<sub>C</sub>.

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51. The compound according to claim 2, wherein  
 L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 R<sub>8</sub> is hydrogen;  
 R<sub>9A</sub> is alkyl;  
 X<sub>2</sub> is NR<sub>C</sub>; and  
 X<sub>3</sub> is O.
52. The compound according to claim 2, wherein  
 L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 R<sub>8</sub> is hydrogen;  
 R<sub>9A</sub> is alkyl;  
 X<sub>2</sub> is NR<sub>C</sub>;  
 X<sub>3</sub> is O; and  
 B is aryl.
53. The compound according to claim 2, wherein  
 L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 R<sub>8</sub> is hydrogen;  
 R<sub>9A</sub> is alkyl;  
 X<sub>2</sub> is NR<sub>C</sub>;  
 X<sub>3</sub> is O;  
 B is aryl; and  
 A is
- 
54. The compound according to claim 53, which is  
 methyl 2-(4-{[3-(4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-1-naphthyl)-1-methylpropyl]amino}butoxy)-6-hydroxybenzoate.
55. The compound according to claim 2, wherein  
 L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 R<sub>8</sub> is hydrogen; and  
 R<sub>9A</sub> and R<sub>9B</sub> are both hydrogen.

56. The compound according to claim 2, wherein  
 L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 R<sub>8</sub> is hydrogen;  
 R<sub>9A</sub> and R<sub>9B</sub> are both hydrogen; and  
 X<sub>2</sub> is NR<sub>C</sub>.
57. The compound according to claim 2, wherein  
 L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 R<sub>8</sub> is hydrogen;  
 R<sub>9A</sub> and R<sub>9B</sub> are both hydrogen;  
 X<sub>2</sub> is NR<sub>C</sub>; and  
 X<sub>3</sub> is O.
58. The compound according to claim 2, wherein  
 L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 R<sub>8</sub> is hydrogen;  
 R<sub>9A</sub> and R<sub>9B</sub> are both hydrogen;  
 X<sub>2</sub> is NR<sub>C</sub>;  
 X<sub>3</sub> is O; and  
 B is aryl.
59. The compound according to claim 2, wherein  
 L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 R<sub>8</sub> is hydrogen;  
 R<sub>9A</sub> and R<sub>9B</sub> are both hydrogen;  
 X<sub>2</sub> is NR<sub>C</sub>;  
 X<sub>3</sub> is O;  
 B is aryl; and  
 A is
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- 
60. The compound according to claim 59, which is methyl 2-(4-{[3-(4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-1-naphthyl)propyl]amino}butoxy)-6-hydroxybenzoate.

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61. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m \text{X}_1(\text{CH}_2)_n \text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p \text{X}_3(\text{CH}_2)_q \text{X}_4-$ .
- 5      62. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m \text{X}_1(\text{CH}_2)_n \text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p \text{X}_3(\text{CH}_2)_q \text{X}_4-$ ; and  
 R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>.
- 10     63. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m \text{X}_1(\text{CH}_2)_n \text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p \text{X}_3(\text{CH}_2)_q \text{X}_4-$ ;  
 R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>; and  
 R<sub>9A</sub> and R<sub>9B</sub> together are oxo.
- 15     64. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m \text{X}_1(\text{CH}_2)_n \text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p \text{X}_3(\text{CH}_2)_q \text{X}_4-$ ;  
 R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;  
 R<sub>9A</sub> and R<sub>9B</sub> together are oxo; and  
 X<sub>2</sub> is NR<sub>C</sub>.
- 20     65. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m \text{X}_1(\text{CH}_2)_n \text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p \text{X}_3(\text{CH}_2)_q \text{X}_4-$ ;  
 R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;  
 R<sub>9A</sub> and R<sub>9B</sub> together are oxo;  
 X<sub>2</sub> is NR<sub>C</sub>; and  
 X<sub>3</sub> is O.
- 25     66. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m \text{X}_1(\text{CH}_2)_n \text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p \text{X}_3(\text{CH}_2)_q \text{X}_4-$ ;  
 R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;  
 R<sub>9A</sub> and R<sub>9B</sub> together are oxo;  
 X<sub>2</sub> is NR<sub>C</sub>;  
 X<sub>3</sub> is O; and  
 X<sub>4</sub> is O.
- 30     67. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m \text{X}_1(\text{CH}_2)_n \text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p \text{X}_3(\text{CH}_2)_q \text{X}_4-$ ;  
 R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

X<sub>2</sub> is NR<sub>C</sub>;

X<sub>3</sub> is O;

X<sub>4</sub> is O; and

5 B is aryl.

68. The compound according to claim 2, wherein

L is -(CH<sub>2</sub>)<sub>m</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>n</sub>CH(R<sub>8</sub>)C(R<sub>9A</sub>)(R<sub>9B</sub>)X<sub>2</sub>(CH<sub>2</sub>)<sub>p</sub>X<sub>3</sub>(CH<sub>2</sub>)<sub>q</sub>X<sub>4</sub>-;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

10 R<sub>9A</sub> and R<sub>9B</sub> together are oxo;

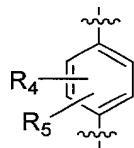
X<sub>2</sub> is NR<sub>C</sub>;

X<sub>3</sub> is O;

X<sub>4</sub> is O;

B is aryl; and

A is



69. The compound according to claim 68, which is

methyl 2-{2-[2-(N-[(allyloxy)carbonyl]-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-L-phenylalanyl]amino}ethoxy}-6-hydroxybenzoate;

70. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in combination with a pharmaceutically acceptable carrier.

25 71. A method of selectively inhibiting protein tyrosine phosphatase 1B comprising administering a therapeutically effective amount of a compound of claim 1.

72. A method of treating disorders caused by overexpressed or altered protein tyrosine phosphatase 1B comprising administering a therapeutically effective amount of a compound of claim 1.

- 30 73. The method of claim 72, wherein the disorder is type I and type II diabetes.

74. The method of claim 72, wherein the disorder is obesity.

75. A method of claim 72, wherein the disorder is autoimmune disorders, acute and chronic inflammatory disorders, osteoporosis, cancer, malignant disorders.